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FILE 'HOME' ENTERED AT 10:38:30 ON 13 NOV 2007

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FULL ESTIMATED COST                                         0.21       0.21

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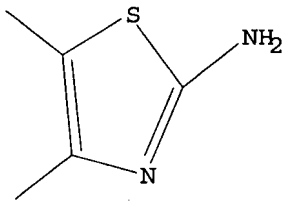


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100.0% PROCESSED      192 ITERATIONS                              1 ANSWERS
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FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
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L2 1 SEA FAM SAM L1

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L3 10 SEA FAM FUL L1

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FULL ESTIMATED COST 68.15 68.36

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FILE COVERS 1907 - 13 Nov 2007 VOL 147 ISS 21
FILE LAST UPDATED: 12 Nov 2007 (20071112/ED)

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=> s l3
L4 194 L3

=> d ti au abs so py 1-10

L4 ANSWER 1 OF 194 CAPLUS COPYRIGHT 2007 ACS on STN
TI Quinazoline derivatives as platelet-derived growth factor inhibitors, their preparation, pharmaceutical compositions, and use in the treatment of cancer
IN Jung, Frederic Henri; Ple, Patrick
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention concerns quinazoline derivs. of formula I, which are inhibitors of platelet-derived growth factor (PDGF). In compds. I, p is 0-3; each R1 is independently halo, OH, NH2, SH, CF3, cyano, carboxy, C1-6 alkoxycarbonyl, carbamoyl, C1-8 alkyl, C1-6 alkoxy, C1-6 alkylthio, C1-6

alkylsulfonyl, C1-6 alkylamino, N-(C1-6 alkyl)carbamoyl, C2-6 acyl, C2-6 acylamino, etc.; q is 0, 1, or 2; each R2 is independently halo, OH, NH2, CF3, cyano, carboxy, carbamoyl, C1-8 alkyl, C1-6 alkoxy, C1-6 alkylamino, N-(C1-6 alkyl)carbamoyl, C1-6 haloalkyl, C1-6 alkoxy-C1-6 alkyl, etc.; R3 is H, C1-8 alkyl, C2-8 alkenyl, or C2-8 alkynyl; R4 is H, C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, C1-6 haloalkyl, C1-6 alkoxyalkyl, carboxy-C1-6 alkyl, etc., or R3 and R4, together with the carbon atom to which they are attached, form C3-8 cycloalkyl; R5 is H, C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, or -L-R6; R6 is C1-6 haloalkyl, C1-6 hydroxyalkyl, C1-6 alkoxy-C1-6 alkyl, or cyano-C1-6 alkyl; L is a bond, O, or NR7, where R7 is H or C1-8 alkyl; X is O or NR8, where R8 is H or C1-8 alkyl; and A is (un)substituted aryl or (un)substituted heteroaryl containing up to three heteroatoms selected from O, N, and S. The invention also relates to the preparation of I, pharmaceutical compns. comprising a quinazoline of formula I in association with a pharmaceutically acceptable diluent or carrier, as well as to the use of the compns. for the treatment of cell proliferative disorders, such as cancer. Benzylation of 5-hydroxy-2-methylpyridine followed by N-oxidation, acetylation, rearrangement, and hydrolysis gave pyridine II, which was chlorinated, substituted with cyanide, and hydrolyzed resulting in the formation of 2-pyridineacetic acid III. tert-Bu esterification of III, hydrogenation, and substitution of 4-chloro-6,7-dimethoxyquinazoline yielded IV, which underwent acidic deesterification and amidation with 2-amino-4,5-dimethylthiazole to give quinazoline V. The compds. of the invention, e.g., V, are PDGF inhibitors (no data).

SO PCT Int. Appl., 136pp.
CODEN: PIXXD2
PY 2007

L4 ANSWER 2 OF 194 CAPLUS COPYRIGHT 2007 ACS on STN

TI Quinoline derivatives as platelet-derived growth factor inhibitors, their preparation, pharmaceutical compositions, and use in the treatment of cancer

IN Jung, Frederic Henri; Ple, Patrick

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention concerns quinoline derivs. of formula I, which are inhibitors of platelet-derived growth factor (PDGF). In compds. I, p is 0-3; each R1 is independently halo, OH, NH2, SH, CF3, cyano, carboxy, C1-6 alkoxycarbonyl, carbamoyl, C1-8 alkyl, C1-6 alkoxy, C1-6 alkylthio, C1-6 alkylsulfonyl, C1-6 alkylamino, N-(C1-6 alkyl)carbamoyl, C2-6 acyl, C2-6 acylamino, etc.; q is 0, 1, or 2; each R2 is independently halo, OH, NH2, CF3, cyano, carboxy, carbamoyl, C1-8 alkyl, C1-6 alkoxy, C1-6 alkylamino, N-(C1-6 alkyl)carbamoyl, C1-6 haloalkyl, C1-6 alkoxy-C1-6 alkyl, etc.; R3 is H, C1-8 alkyl, C2-8 alkenyl, or C2-8 alkynyl; R4 is H, C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, C1-6 haloalkyl, C1-6 alkoxy-C1-6 alkyl, carboxy-C1-6 alkyl, etc., or R3 and R4, together with the carbon atom to which they are attached, form C3-8 cycloalkyl; R5 is H, C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, or -L-R6; R6 is C1-6 haloalkyl, C1-6 hydroxyalkyl, C1-6 alkoxy-C1-6 alkyl, or cyano-C1-6 alkyl; L is a bond, O, or NR7, where R7 is H or C1-8 alkyl; X is O or NR8, where R8 is H or C1-8 alkyl; and A is (un)substituted aryl or (un)substituted heteroaryl containing up to three heteroatoms selected from O, N, and S. The invention also relates to the preparation of I, pharmaceutical compns. comprising a quinoline of formula I in association with a pharmaceutically acceptable diluent or carrier, optionally containing an addnl. antitumor or antiangiogenic agent, as well as to the use of the compns. for the treatment of cell proliferative disorders, such as cancer. N-Nitration of pyrazole followed by rearrangement gave 4-nitropyrazole, which was N-alkylated with di-Et sulfate and reduced to

give 4-amino-1-ethylpyrazole (II). Substitution of 4-chloro-6-cyano-7-methoxyquinoline with 2-(4-hydroxyphenyl)acetic acid yielded quinoline III, which underwent amidation with II to give quinoline IV. The compds. of the invention are inhibitors of PDGF, e.g., compound IV expressed IC50 value of 2 nM vs. phospho-Tyr751 formation in PDGFRβ.

SO PCT Int. Appl., 217pp.
CODEN: PIXXD2

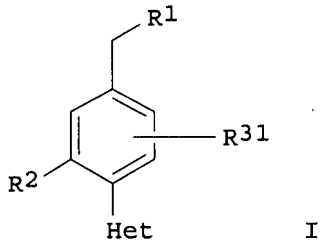
PY 2007

L4 ANSWER 3 OF 194 CAPLUS COPYRIGHT 2007 ACS on STN

TI Preparation of heterocyclic sulfonamides, particularly N-(isoxazol-3-yl)thiophene-2-sulfonamides, as novel AT1 and ETA dual action receptor antagonists (dara)

IN Gupta, Ramesh Chandra; Jagtap, Vikrant Vijaykumar; Mandhare, Appaji Baburao; Perkins, Tim; Westerlund, Christer

GI



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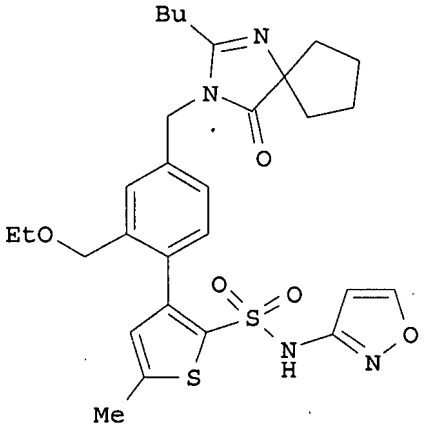


II

AB Title compds. I [Het = (un)substituted 2-[(R4-amino)sulfonyl]thiophen-3-yl, 3-[(R4-amino)sulfonyl]thiophen-2-yl, 5-[(R4-amino)sulfonyl]thiazol-4-yl, 2-[(R4-amino)sulfonyl]furan-3-yl, etc.; R4 = (un)substituted 5-6 membered mono- or bicyclic ring containing 1-3 heteroatoms selected from O, N, and S such as isoxazolyl, pyridinyl, triazolyl, thiazolyl, etc.; R1 = (pyridin-4-yl)oxy, 2-oxo-1,6-naphthyridin-1-yl, (5,6,7,8-tetrahydroquinolin-4-yl)oxy, etc.; R2 = H, halo, alkyl, alkoxy, etc.; R31 = H, halo, CN, OH, alkoxyalkyl, etc.; and their pharmaceutically acceptable salts, hydrates, solvates, atropisomers, enantiomers, diastereomers, tautomers, polymorphs and prodrugs], (e.g., II), were prepared as AT1 and ETA dual action receptor antagonists. Thus, a multi-step synthesis using 4-bromo-3-methylbenzoic acid, (5-methylisoxazol-3-yl)amine, 5-methylthiophene-2-sulfonyl chloride, 1-aminocyclopentanecarboxylic acid and pentanimidic acid Et ester was given for sulfonamide II. The potency of sulfonamides I ranges from 1 nM to 10 μM for AT1 and 10 nM to 50 μM for ETA. I, alone or in

combination, are useful for treating and preventing hypertension of different kinds, diabetic nephropathy, endothelin and angiotensin mediated disorders, prostate cancer, alleviating organ damage of different kinds, etc.

SO PCT Int. Appl., 365pp.
CODEN: PIXXD2

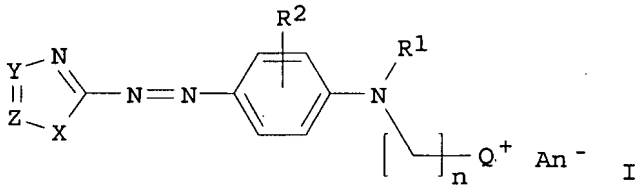
PY 2007

L4 ANSWER 4 OF 194 CAPLUS COPYRIGHT 2007 ACS on STN

TI Cationic azo dye for oxidative coloring keratin fibers

IN Pasquier, Cecile; Tinguely, Eric; Speckbacher, Markus; Marguet, Annik; Braun, Hans-Juergen

GI



I

AB The present invention relates to agents for coloring keratin fibers which comprise at least one cationic azo dye (I; where X = O, S, NR3 or CR4; Y = CR5, N, NR6, S or O; Z = N or CR7; n = 1-6; R1 = H, C1-12 (hydroxy)alkyl, C1-12 aminoalkyl; R2, R4, R5, R7 = H, halo, C1-12 (hydroxy)alkyl, C1-12 alkoxy, C1-12 aminoalkyl, cyano, NO2, amino, etc.; R3, R6 = C1-12 (hydroxy)alkyl; Q+ = aromatic or hetrocyclic quaternary ammonium group ; An- = acid anion). For example, hair colorant was prepared containing 1-{2-[{4-[(4,5-dimethyl-1,3-thiazol-2-yl)diazenyl]phenyl}(ethyl)amino]ethyl}-3-methyl-1H-imidazol-3-ium bromide 0.33 g, ethanol 5.0 g, cetyltrimethylammonium chloride 4.0 g and water 100 g.

SO Eur. Pat. Appl., 28pp.
CODEN: EPXXDW

PY 2007
2007
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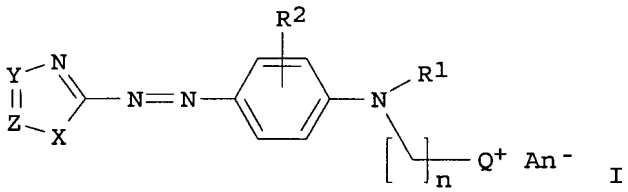
2007

L4 ANSWER 5 OF 194 CAPLUS COPYRIGHT 2007 ACS on STN

TI Cationic azo dye for oxidative coloring keratin fiber

IN Pasquier, Cecile; Tinguely, Eric; Speckbacher, Markus; Marguet, Annik; Braun, Hans-Juergen

GI



I

AB The present invention relates to agents for coloring keratin fibers which comprise at least one cationic azo dye (I; where X = O, S, NR3 or CR4; Y = CR5, N, NR6, S or O; Z = N or CR7; n = 1-6; R1 = H, C1-12 (hydroxy)alkyl,

C1-12 aminoalkyl; R2, R4, R5, R7 = H, halo, C1-12 (hydroxy)alkyl, C1-12 alkoxy, C1-12 aminoalkyl, cyano, NO, amino, etc.; R3, R6 = C1-12 (hydroxy)alkyl; Q+ = aromatic or hetrocyclic quaternary ammonium group ; An- = acid anion). For example, hair colorant was prepared containing 2-[[4-[(4,5-dimethyl-1,3-thiazol-2-yl)diazenyl]phenyl](ethyl)amino]-N,N,N-trimethylethanaminium bromide 0.33g, ethanol 5.0 g, cetyltrimethylammoniu chloride 4.0 g and water to 100 g.

SO Eur. Pat. Appl., 22pp.
CODEN: EPXXDW

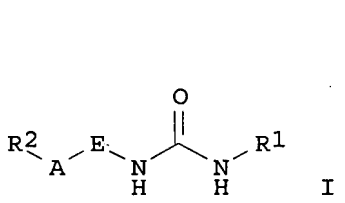
PY 2007
2007
2007

L4 ANSWER 6 OF 194 CAPLUS COPYRIGHT 2007 ACS on STN

TI Preparation of urea derivatives as acyl-CoA:diacylglycerol acyltransferase (DGAT) inhibitors

IN Kurata, Hitoshi; Utsu, Yoshikazu; Fujibayashi, Yuko; Furuhama, Takafumi; Tanimoto, Tatsuo; Karasawa, Hiroshi

GI



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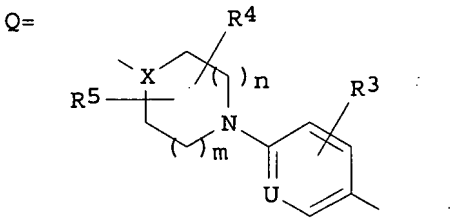
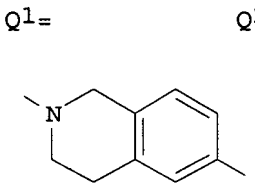
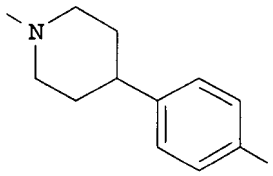
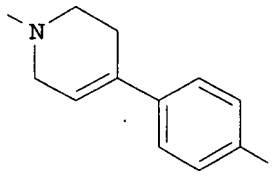








AB Urea derivs. represented by the general formula (I) [wherein R1 = C1-10 alkyl, C3-8 cycloalkyl, each (un)substituted C6-10 aryl or heterocyclyl; R2 = H, C1-6 alkyl, (un)substituted C6-10 aryl, heterocyclyl, or C7-16 aralkyl, C1-6 alkyl-C3-6 cycloalkyl, C3-8 cycloalkyl, C7-10 bicycloalkyl, tetralyl; E = Q, Q1, Q2, Q3; R3 = H, C1-6 alkyl, halo, cyano; R4, R5 = H, C1-6 alkyl; X, U = CH, N; m, n = 1,2; A = a single bond, O-CO, O-C(:S), NHCO, NHC(:S), CO, C(S), CH(OH)CO; provided that a case where R2 = H and A = a single bond is excluded] or pharmacol. acceptable salts thereof are prepared These compds. having excellent DGAT inhibitory activity and are useful for the prevention and/or treatment of hyperlipidemia, hypertriglyceridemia, lipid metabolism abnormality diseases, insulin resistance syndromes, glucose tolerance abnormality, diabetes, diabetes complications (e.g. diabetic peripheral neuropathy, diabetic nephropathy, diabetic retinopathy, and diabetic vascular hypertrophy), cataract, gestational diabetes mellitus, polycystic ovarian syndromes, arteriosclerosis, atherosclerosis, diabetic arteriosclerosis, hypertension, cerebralvascular disorders, coronary artery disease, fatty liver, dyspnoea, lumbago (low back pain), gonarthrosis, gout, and cholelithiasis. They are also useful for preventing absorption of fat from small intestine. Thus, a solution of N-(2-methoxy-5-methylphenyl)-N'-[4-(piperazin-1-yl)phenyl]urea in THF was treated with 2-chloro-6-methylphenyl isocyanate and stirred at room temperature for 15 h to give

4-[4-[N'-(2-methoxy-5-methylphenyl)ureido]phenyl]piperazine-1-carboxylicacidN-(2-chloro-6-methylphenyl)amide (II). II at 0.1 μg/L inhibited ≥50% mouse DGAT1 and in vivo inhibited the absorption of neutral fat in mice at 10 and 30 mg/kg p.o. A capsule and a tablet formulation containing specific compds. I were described.

SO Jpn. Kokai Tokkyo Koho, 317pp.
CODEN: JKXXAF

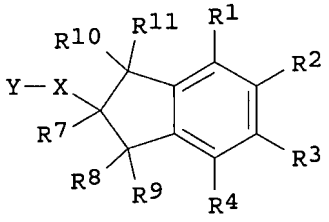
PY 2007

L4 ANSWER 7 OF 194 CAPLUS COPYRIGHT 2007 ACS on STN

TI Preparation of indanes as modulators of glucocorticoid receptor, AP-1, or NF-κB activity for use as antiobesity, antidiabetic, antiinflammatory, or immunomodulatory agents

IN Duan, Jingwu; Jiang, Bin

GI



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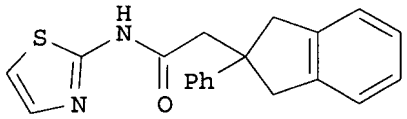


II

AB Indanes I [A1, A2 = bond, C1-3 alkanediyl, C1-3 alkenediyl; Q = bond, carbonyl, oxycarbonyl, (un)substituted carbonylamino, sulfonylamino, etc.; R1, R2, R3, R4 = H, halo, alkyl, (un)substituted alkenyl or alkynyl, azido, nitro, cyano, (un)substituted alkoxy or aryloxy; R1R2, R2R3 or R3R4 may also be joined to form a ring; R7, R8, R9, R10, R11 = H, halogen, (un)substituted alkyl, alkenyl or alkynyl, nitro, cyano, (un)substituted alkoxy or aryloxy, etc.; X = A1QA2; Y = H, (un)substituted alkyl, aryl, heteroaryl, heterocyclyl, alkoxy, or aryloxy such that if X = (un)substituted aminocarbonyl, Y ≠ pyridinyl, pyrimidinyl, oxopyridinyl, or arylpyrazolyl] such as indaneacetamide II, are prepared as potential modulators of glucocorticoid receptors, NF-κB, or AP-1 activity for use as potential antiobesity, antidiabetic, antiinflammatory, or immunomodulatory agents. Alkylation of 2-phenyl-1,3-indanedione with tert-Bu bromoacetate, acid hydrolysis of the tert-Bu ester, palladium-catalyzed reduction of the dioxoindaneacetic acid to an indaneacetic acid, and coupling of the indaneacetic acid with 2-aminothiazole provides II. Preparative data for the example compds. are given. No biol. activities are reported for the example compds.

SO PCT Int. Appl., 175pp.
CODEN: PIXXD2

PY 2007
2007

L4 ANSWER 8 OF 194 CAPLUS COPYRIGHT 2007 ACS on STN

TI Mild method for Ullmann reaction of 2-chlorobenzoic acids and aminothiazoles or aminobenzothiazoles under ultrasonic irradiation

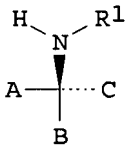
AU Pellon, Rolando F.; Docampo, Maite L.; Fascio, Mirta L.

AB One-pot syntheses of 5H-[1,3]thiazolo[2,3-b]quinazolin-5-one, 12H-[1,3]benzothiazolo[2,3-b]quinazolin-12-one, and corresponding derivs. were developed using the copper-catalyzed Ullmann condensation. The use of ultrasonic irradiation enhanced yields and reduced the reaction time to minutes.

SO Synthetic Communications (2007), 37(11), 1853-1864
CODEN: SYNCAV; ISSN: 0039-7911

PY 2007

L4 ANSWER 9 OF 194 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of heterocyclic amines as CEPT inhibitors
IN Yang, Wu; Wang, Yufeng
GI



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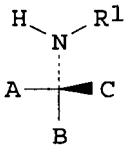

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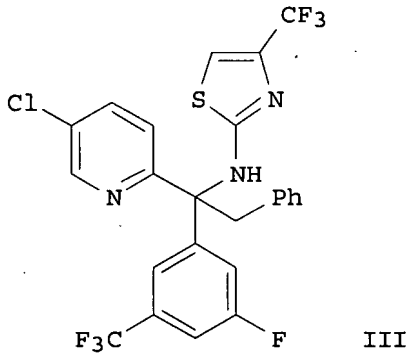


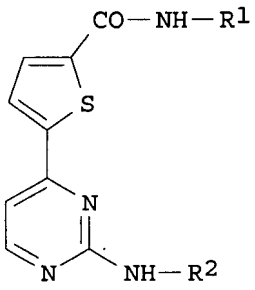
III

AB The title compds. I or II [A = (un)substituted heteroaryl, heterocyclyl, Ph; B = (un)substituted Ph, heteroaryl; C = (un)substituted alkyl, cycloalkyl, heterocyclyl, etc.; R1 = (un)substituted heteroaryl, heterocyclyl, C(NH)NHC(O)OR6; R6 = (un)substituted alkyl, aryl, cycloalkyl, etc.], useful for treating, preventing or slowing the progression of a disease requiring cholesteryl ester transfer protein inhibitor therapy, were prepared E.g., a multi-step synthesis of III, starting from 1-bromo-3-fluoro-5-trifluoromethylbenzene, 5-chloro-2-cyanopyridine and benzylmagnesium chloride, was given. Compds. of the present invention have been shown to inhibit CEPT by greater than 30% at two different concns. of less than 100 μM, preferably with a potency less than 5 μM, more preferably with a potency less than 500 nM. The pharmaceutical compns. comprising the compound I or II alone or in combination with other therapeutic agent are claimed.

SO PCT Int. Appl., 315pp.
CODEN: PIXXD2

PY 2007
2007

L4 ANSWER 10 OF 194 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of pyrimidyl-thiophene derivatives as Aurora kinase inhibitors
IN Adams, Jerry Leroy; Drewry, David Harold; Linn, James Andrew
GI



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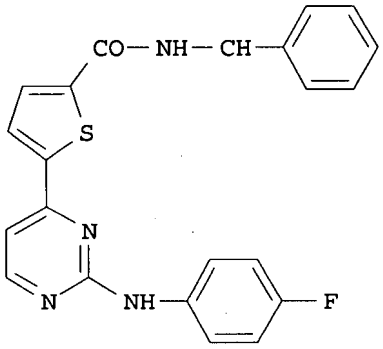


II

AB Title compds. I [R1 = HO(CH2)4-, NCCH2-, (un)substituted Ph, phenylalkyl, etc.; R2 = 2-(N,N-dimethylaminoethyl)-1,3-dioxo-2H-isoindol-5-yl, 2-(N,N-dimethylaminomethyl)-benzoxazol-6-yl, or substituted phenyl], and their pharmaceutically acceptable salts, are prepared and disclosed as Aurora kinase inhibitors. Thus, e.g., II was prepared by cyclocondensation of 5-[(2E)-3-(dimethylamino)-2-propenoyl]-N-(phenylmethyl)-2-thiophenecaboxamide (preparation given) with 1-(4-fluorophenyl)guanidine carbonate. I were tested for their Aurora kinase inhibitory activity and demonstrated pIC50 values ≥ 5.0. I as inhibitors of Aurora kinase activity should prove useful for the treatment and prevention of diseases associated with cell proliferation such as cancer.
SO PCT Int. Appl., 205pp.
CODEN: PIXXD2
PY 2007

=> d ti au abs so py 11-20

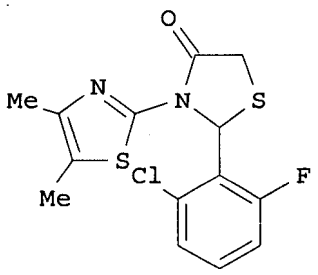
L4 ANSWER 11 OF 194 CAPLUS COPYRIGHT 2007 ACS on STN
TI 2-Amino-5-aminomethylphenol derivatives for dyeing hair fibers
IN Pasquier, Cecile; Tinguely, Eric; Buclin, Veronique; Braun, Hans-Juergen
AB The object of the present patent application are new 2-amino-5-aminomethylphenol derivs. and colorants for oxidative dyeing of keratin fibers, particularly human hair, containing at least 1 2-amino-5-aminomethyl-phenol derivative or a water-soluble salt thereof. A 2-amino-5-aminomethylphenol derivative was prepared and used 0.00125 mol along with a developer in a hair dye formulation.
SO Eur. Pat. Appl., 28pp.
CODEN: EPXXDW
PY 2007
2007
2007
2007

L4 ANSWER 12 OF 194 CAPLUS COPYRIGHT 2007 ACS on STN

TI Design, synthesis, and evaluation of 2-aryl-3-heteroaryl-1,3-thiazolidin-4-ones as anti-HIV agents

AU Rawal, Ravindra K.; Tripathi, Rajkamal; Katti, S. B.; Pannecouque, Christophe; De Clercq, Erik

GI



I

AB Compds. having isothiourea or thiourea functional group have shown high anti-HIV-1 activity. Therefore, a series of 2-aryl-3-heteroaryl-1,3-thiazolidin-4-ones were designed, synthesized, and evaluated for anti-HIV-1 RT activity. The results of in vitro tests showed that the compound 9 (I) exhibited EC50 at 0.26 μM with minimal toxicity in MT-4 cells as compared to 0.35 μM for thiazobenzimidazole (TBZ). It may be inferred from the present data that the majority of compds. in this series exhibit higher selectivity index than TBZ.

SO Bioorganic & Medicinal Chemistry (2007), 15(4), 1725-1731
CODEN: BMECEP; ISSN: 0968-0896

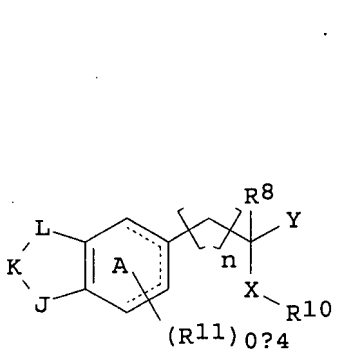
PY 2007

L4 ANSWER 13 OF 194 CAPLUS COPYRIGHT 2007 ACS on STN

TI Indazole compounds as modulators of glucocorticoid receptor, AP-1, and/or NF-κB activity and their preparation, pharmaceutical compositions and use in the treatment of obesity, diabetes, inflammatory and immune diseases

IN Duan, Jingwu; Lu, Zhonghui; Weinstein, David S.; Jiang, Bin

GI



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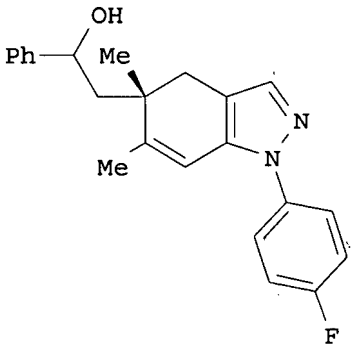


II

AB Non-steroidal compds. are provided which are useful in treating diseases

associated with modulation of the glucocorticoid receptor, AP-1, and/or NF-κB activity including obesity, diabetes, inflammatory and immune diseases, and have the structure of formula I or an enantiomer, a diastereomer, a pharmaceutically acceptable salt, or hydrate thereof. Also provided are pharmaceutical compns. and methods of treating obesity, diabetes and inflammatory or immune associated diseases comprising said compds. Compds. of formula I wherein dotted line is a single and double bond; A is a partially saturated ring; n is 0, 1, and 2; J is (un)substituted alkyl-N, (un)substituted alkenyl-N, (un)substituted methylene, (un)substituted alkynyl-N, etc.; K and L are independently NH and derivs., and (un)substituted methylene; Y is a bond, alkylene, alkenylene, alkynylene, CO< NH and derivs., etc.; Y is H, halo, NO2, CN, OH and derivs., NH2 and derivs., etc.; R8 and R10 are independently H, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (hetero)aryl, etc.; R11 is (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, halo, NO2, azide, CN, OH and derivs., etc,; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by condensation of Et 2-methylacetoacetate with (S)-(-)-α-methylbenzylamine; the resulting enamine underwent cyclization with Me vinyl ketone to give Et 1,2-dimethyl-4-oxo-2-cyclohexenecarboxylate, which underwent formylation with Et formate to give the corresponding 6-formyl-2-cyclohexenone, which underwent cyclocondensation with 4-fluorophenylhydrazine to give 5,6-dimethyl-1-(4-fluorophenyl)-4,5-dihydroindazole-5-carboxylic acid Et ester, which underwent reduction to give the corresponding indazole-5-carboxaldehyde, which underwent olefination with (methoxymethyl)triphenylphosphonium chloride to give the corresponding enol ether, which underwent hydrolysis and resolution to give the corresponding (R)-5-indazol-5-ylacetaldehyde, which underwent addition of phenylmagnesium bromide to give followed by resolution to give both the isomers of I. All the invention compds. were evaluated for their glucocorticoid receptor, AP-1 and NF-κB modulatory activity. These compds. may be useful in the treatment of obesity, diabetes, inflammatory and immune disease.

SO PCT Int. Appl., 171pp.
CODEN: PIXXD2
PY 2006
2007
2007

L4 ANSWER 14 OF 194 CAPLUS COPYRIGHT 2007 ACS on STN

TI Synthesis and Antitumor Activity of Guanylhydrazones from 6-(2,4-Dichloro-5-nitrophenyl)imidazo[2,1-b]thiazoles and 6-Pyridylimidazo[2,1-b]thiazoles

AU Andreani, Aldo; Burnelli, Silvia; Granaiola, Massimiliano; Leoni, Alberto; Locatelli, Alessandra; Morigi, Rita; Rambaldi, Mirella; Varoli, Lucilla; Farruggia, Giovanna; Stefanelli, Claudio; Masotti, Lanfranco; Kunkel, Mark W.

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Imidazothiazole guanylhydrazones, e.g., I, were prepared by substitution of bromoketones, e.g., II, with 2-aminothiazoles, e.g., III, followed by Vilsmeier formylation and condensation with aminoguanidine. The antitumor activities of the synthesized guanylhydrazones were tested.

SO Journal of Medicinal Chemistry (2006), 49(26), 7897-7901
CODEN: JMCMAR; ISSN: 0022-2623
PY 2006

L4 ANSWER 15 OF 194 CAPLUS COPYRIGHT 2007 ACS on STN

TI Assessing the Nitrogen and Carbon Nucleophilicities of 2-Aminothiazoles through Coupling with Superelectrophilic 4,6-Dinitrobenzofuroxan

AU Forlani, Luciano; Tocke, Aline Laure; Del Vecchio, Erminia; Lakhdar, Sami; Goumont, Regis; Terrier, Francois

AB The reactions of 2-aminothiazole (1a), 4-methyl-2-aminothiazole (1b), and 4,5-dimethyl-2-aminothiazole (1c) with superelectrophilic 4,6-dinitrobenzofuroxan (DNBF) have been studied in acetonitrile and a 70/30 (volume/volume) H2O/Me2SO mixture While exhibiting a somewhat higher nitrogen basicity than that of anilines, 1a and 1b do not react as nitrogen nucleophiles, affording exclusively anionic C-bonded σ-adducts (C-1a and C-1b) through electrophilic SEAr substitution of the thiazole ring by DNBF. Only in the case of the 4,5-di-Me derivative 1c a N-adduct, N-1c, was obtained. On the basis of 1H-15N correlations, it is demonstrated that this adduct, N-1c;1c,H+, is derived from DNBF addition at the exocyclic amino group and not at the endocyclic nitrogen center of 1c. Rate consts. have been determined in the two solvents for the formation of the adducts, revealing a reactivity sequence which accounts well for the finding that 1a and 1b behave preferentially as carbon rather than nitrogen nucleophiles. The enaminic character of these thiazoles is assessed through an estimation of the pKa values for their C-protonation in aqueous solution as well as through a positioning of their reactivity on the nucleophilicity scale recently developed by Mayr et al. (Acc. Chemical Res. 2003, 36, 66). With N values of the order of 6.80 and 5.56, 1b and 1a have a carbon nucleophilicity comparable to that of N-methylindole and indole, resp.

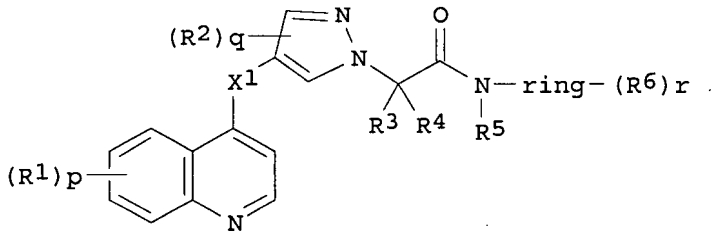
SO Journal of Organic Chemistry (2006), 71(15), 5527-5537
CODEN: JOCEAH; ISSN: 0022-3263
PY 2006

L4 ANSWER 16 OF 194 CAPLUS COPYRIGHT 2007 ACS on STN

TI Preparation of quinoline derivatives for use in treatment of cell proliferative disorders or disease associated with angiogenesis and/or vascular permeability

IN Jung, Frederic Henri

GI



I

AB Quinoline derivs. I, wherein X1 is O, substituted nitrogen; p is 0-3; R1 is halogen, CF3, Cn, OH,SH, NH2, alkyl, alkenyl, alkynyl, alkoxy, alkenyl-oxy, alkynyl-oxy, alkylthio, alkyl-sulfinyl, alkyl-sulfonyl, alkylamino, Q1X2; X2 is O, S, SO, SO2, substituted amine, CO, amide, amino-carbonyl; Q1 is aryl, arylalkyl, cycloalkyl, cyclo-alkenyl, cyclo-alkenyl-alkyl, heteroaryl, heterocycle, heterocyclyl-alkyl; q = 0-2; R2 is halogen CF3, CN, OH, amino, alkyl, alkenyl, alkynyl, alkoxy, alkylamino; R3 is H, alkyl, alkenyl, alkynyl; R3 and R4 together with the carbon atom to which they are attached form a cycloalkyl group; R5 is H, alkyl, alkenyl, alkynyl; ring is 6-membered mono-cyclic, 10-membered bicyclic aryl ring, heterocycle; X1 is O, S, SO, So2, substituted nitrogen, Co, amide, amino-carbonyl, sulfonyl-amine, amino-sulfonyl, ; R6 is halogen, CF3, CN, OH, SH, amino, carboxy, carbamoyl, sulfamoyl, ureido, alkyl, alkenyl, alkynyl, alkoxy, alkylthio, alkyl-sulfinyl, alkyl-sulfonyl, alkylamino, alkoxycarbonyl, alkanoyl, alkanoyl-oxy,

alkyl-carbamoyl; r is 0-3, were prepared for use in the treatment of cell proliferative disorders or in the treatment of disease states associated with angiogenesis and/or vascular permeability. Thus, N-(3-fluorophenyl)-2-[4-(6-cyano-7-methoxy-quinolin-4-yl-oxy)pyrazol-1-yl]acetamide was prepared for use in treatment of cell proliferative disorders or disease associated with angiogenesis and/or vascular permeability. The compds. of the present invention were tested as inhibitors of PDGFRα, PDGFRβ and KDR tyrosine kinase enzymes, as inhibitors in vitro of the phosphorylation of PDGFR expressed on MG63 osteosarcoma cells, as inhibitors in vitro of the proliferation of MG63 osteosarcoma cells, as inhibitors in vitro of the proliferation of human umbilical vein endothelial cells (HUVECs), and as inhibitors in vivo of the growth in nude mice of xenografts of human tumor tissue such as CaLu-6 and Colo205.

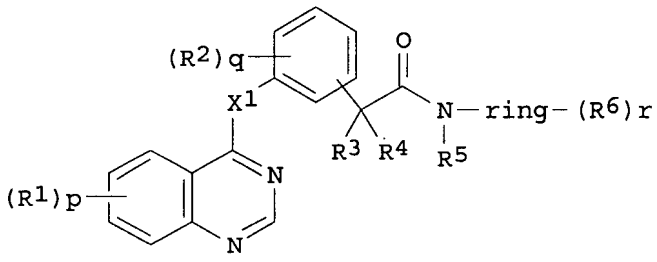
SO PCT Int. Appl., 109 pp.
CODEN: PIXXD2
PY 2006
2007

L4 ANSWER 17 OF 194 CAPLUS COPYRIGHT 2007 ACS on STN

TI Preparation of quinazoline derivatives for use in treatment of cell proliferative disorders or disease associated with angiogenesis and/or vascular permeability

IN Ple, Patrick; Jung, Frederic Henri

GI



I

AB Quinazoline derivs. I, wherein X1 is O, substituted amine; p is 0-3; R1 is halogen, CF3, Cn, OH,SH, NH2, alkyl, alkenyl, alkynyl, alkoxy, alkenyl-oxy, alkynyl-oxy, alkylthio, alkyl-sulfinyl, alkyl-sulfonyl, alkylamino, Q1X2; X2 is O, S, SO, SO2, substituted amine, CO, amide, amino-carbonyl; Q1 is aryl, arylalkyl, cycloalkyl, cyclo-alkenyl, cyclo-alkenyl-alkyl, heteroaryl, heterocycle, heterocyclyl-alkyl; q = 0-2; R2 is halogen CF3, CN, OH, amino, alkyl, alkenyl, alkynyl, alkoxy, alkylamino; R3 is H, alkyl, alkenyl, alkynyl; R3 and R4 together with the carbon atom to which they are attached form a cycloalkyl group; R5 is H, alkyl, alkenyl, alkynyl; ring is 6-membered mono-cyclic, 10-membered bicyclic aryl ring, heterocycle; r is 0-3; R6 is halogen, CF3, CN, OH, SH, amino, carboxy, carbamoyl, sulfamoyl, ureido, alkyl, alkenyl, alkynyl, alkoxy, alkylthio, alkyl-sulfinyl, alkyl-sulfonyl, alkylamino, alkoxycarbonyl, alkanoyl, alkanoyl-oxy, alkyl-carbamoyl, were prepared for use in the treatment of cell proliferative disorders or in the treatment of disease states associated with angiogenesis and/or vascular permeability. Thus, (2S)-2-amino-2-[4-(6,7-dimethoxy-quinazolin-4-yl-oxy)phenyl]-N-(4,5-dimethyl-thiazol-2-yl)acetamide was prepared and tested in treatment of cell proliferative disorders or disease associated with angiogenesis and/or vascular permeability. The compds. of the present invention were tested as inhibitors of PDGFRα, PDGFRβ and KDR tyrosine kinase enzymes, as inhibitors in vitro of the phosphorylation of PDGFR expressed on MG63 osteosarcoma cells, as inhibitors in vitro of the proliferation of MG63 osteosarcoma cells, as inhibitors in vitro of the proliferation of human umbilical vein endothelial cells (HUVECs), and as inhibitors in vivo of the growth in nude mice of xenografts of human tumor tissue such as

CaLu-6 and Colo205.

SO PCT Int. Appl., 191 pp.
CODEN: PIXXD2

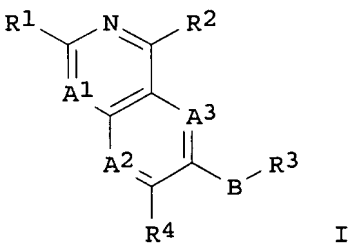
PY 2006
2006
2006
2007
2007
2007

L4 ANSWER 18 OF 194 CAPLUS COPYRIGHT 2007 ACS on STN

TI Aryl nitrogen-containing bicyclic compounds and their preparation, pharmaceutical compositions, and protein kinase inhibitory activity and use in prophylaxis and treatment of kinase-mediated diseases

IN Patel, Vinod F.; Kim, Joseph L.; Geuns-Meyer, Stephanie D.; Chaffee, Stuart C.; Cee, Victor J.; Hodous, Brian L.; Bellon, Steven; Harmange, Jean-Christophe; Olivieri, Philip R.; Thaman, Maya C.; Dimauro, Erin F.; Buchanan, John L.; Mcgowan, David C.; Albrecht, Brian K.; Deak, Holly L.; Bemis, Jean E.; White, Ryan; Martin, Matthew W.; Habgood, Gregory J.; Tempest, Paul A.; Masse, Craig E.; Buckner, William H.; Herberich, Bradley J.; Graceffa, Russell; Zhang, Dawei; Xu, Shimin; Sham, Kelvin; Rzasa, Robert M.; Falsey, James Richard; Chakrabarti, Partha P.; Cao, Guo-Qiang; Tomlinson, Susan Ann; Pettus, Liping H.; Smith, Adrian Leonard; Paras, Nick A.; Liu, Gang; Demorin, Frenel F.; Tasker, Andrew; Reed, Anthony

GI



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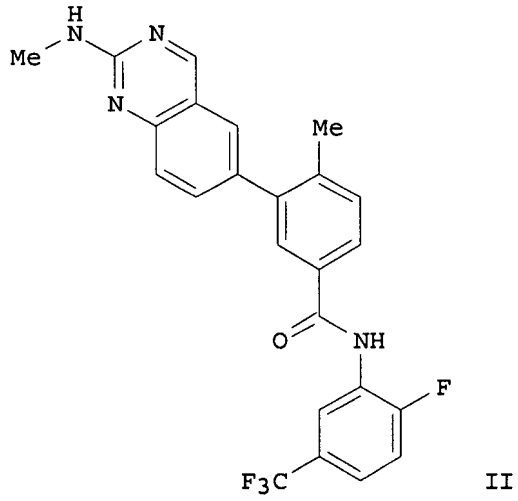

II

AB The invention comprises a class of compds. of formula I useful for the prophylaxis and treatment of protein kinase mediated diseases, including inflammation, cancer and related conditions. Compds. of formula I wherein A1 and one of A2 and A3 are independently CR5 or N; B is a bond, CR5R6, CO, NR6, O, S, SO, or SO2; R1 is halo, haloalkyl, NO2, CN, H, NH2 and derivs., OH and derivs., SH and derivs., CHO and derivs., OC(O)R and derivs., CO2H and derivs., CONH2 and derivs., CSNH2 and derivs., NHCHO and derivs., NHC(S)H and derivs., NHCONH2 and derivs., NHCSNH2 and derivs., SO2H and derivs., SO2NH2 and derivs., etc.; R2, R4, and R5 are independently H, halo, haloalkyl, NO2, CN, OH and derivs., SH and derivs., NH2 and derivs., CHO and derivs., CO2H and derivs., CONH2 and derivs., NHCONH2 and derivs., SO2H and derivs., SO2NH2 and derivs., NHSO2H and derivs., (un)substituted C1-10 (hetero)alkyl, (un)substituted C2-10 alkenyl, (un)substituted C2-10 (hetero)alkynyl, (un)substituted 3- to 10-

membered (hetero)cycloalkyl, (un)substituted 4- to 10-membered (hetero)cycloalkenyl, etc.; R3 is (un)substituted (un)saturated 5- to 8-membered (hetero)monocyclic, (un)substituted (un)saturated 6- to 12-membered (hetero)bicyclic, or (un)substituted (un)saturated 7- to 14-membered (hetero)tricyclic rings; R6 is H, (un)substituted C1-10 (hetero)alkyl, (un)substituted C2-10 (hetero)alkenyl, (un)substituted C2-10 (hetero)alkynyl, (un)substituted 3- to 10-membered (hetero)cycloalkyl, (un)substituted 4- to 10-membered (hetero)cycloalkenyl; and their stereoisomers, tautomers, solvates, pharmaceutically acceptable salts, derivs., and prodrugs thereof are claimed. Accordingly, the invention also comprises pharmaceutical compns. comprising the compds. of the invention, methods for the prophylaxis and treatment of kinase mediated diseases using the compds. and compns. of the invention, and intermediates and processes useful for the preparation of compds. of the invention. Example compound II was prepared by boration of 3-iodo-4-methylbenzoic acid with bis(pinacolato)diboron; the resulting 4-methyl-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzoic acid was converted to the corresponding acid chloride, in situ, and reacted with 2-fluoro-5-trifluoromethylbenzeneamine to give N-(2-fluoro-5-fluoromethylphenyl)-4-methyl-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzamide, which underwent cross-coupling with 6-bromo-N-methylquinazolin-2-amine to give compound II. About 2000 invention compds. of formula I were prepared by similar procedures. All the invention compds. were tested for their protein kinase inhibitory activity. Example compound I along with many other invention compound showed good inhibitory activity. From the HTRF assay, the IC50 values for inhibition of Tie-2 was determined to be less than or equal to 1 μM for some of the invention compds. For the inhibition of Lck kinase enzyme, the some of the exemplary compds. exhibited an average IC50 value of 25 μM or less and some invention compound exhibited an IC50 value of 1 μM or less, in the human HTRF assay. The invention compds. were also found to be active inhibitors or the VEGF kinase receptor. Furthermore, some of the invention compds. exhibited activities in the monocyte assay with IC50 values of 25 μM or less. Various compds. of the invention have selective inhibitory activity for specific kinase receptor enzymes, including Tie-2, Lck, p38 and VEGFR/KDR. Accordingly, the compds. of the invention would be useful in therapy as antineoplasia agents, antiinflammatory agents, or to minimize deleterious effects of Tie-2, Lck, VEGF and/or p38.

SO PCT Int. Appl., 876 pp.
CODEN: PIXXD2

PY 2006
2006
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2006
2007

L4 ANSWER 19 OF 194 CAPLUS COPYRIGHT 2007 ACS on STN

TI One pot synthesis using supported reagents system KSCN/SiO2-RNH3OAc/Al2O3: synthesis of 2-aminothiazoles and N-allylthioureas

AU Aoyama, Tadashi; Murata, Sumiko; Arai, Izumi; Araki, Natsumi; Takido, Toshio; Suzuki, Yoshitada; Kodomari, Mitsuo

AB A simple and efficient method has been developed for the synthesis of 2-aminothiazoles and N-allylthioureas from com. available materials in one pot by using a supported reagents system, KSCN/SiO2-RNH3OAc/Al2O3, in which α-halo ketones react first with KSCN/SiO2 and the product, α-thiocyanatoketone, reacts with RNH3OAc/Al2O3 to give the final products, 2-aminothiazoles, in good yield. Allyl bromides react with KSCN/SiO2 and the products, allyl isothiocyanates, react with RNH3OAc/Al2O3 to give N-allylthioureas.

SO Tetrahedron (2006), 62(14), 3201-3213
CODEN: TETRAB; ISSN: 0040-4020

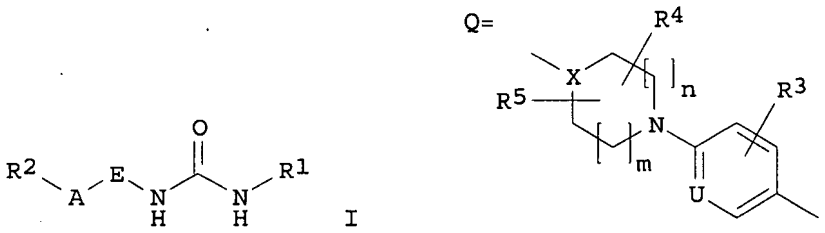
PY 2006

L4 ANSWER 20 OF 194 CAPLUS COPYRIGHT 2007 ACS on STN

TI Preparation of urea derivatives as acyl-CoA:diacylglycerol acyltransferase (DGAT) inhibitors

IN Kurata, Hitoshi; Uto, Yoshikazu; Fujibayashi, Yuko; Kohama, Takafumi; Tanimoto, Tatsuo; Karasawa, Hiroshi

GI



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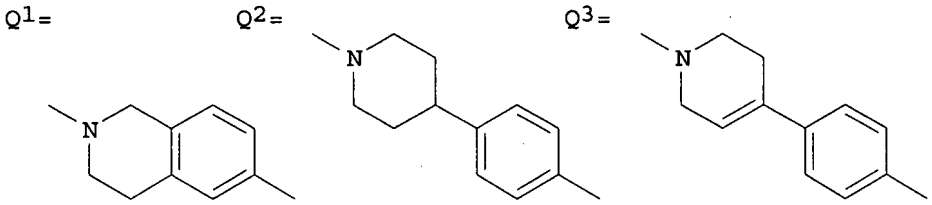

AB Urea derivs. represented by the general formula (I) [wherein R1 = C1-10 alkyl, C3-8 cycloalkyl, each (un)substituted C6-10 aryl or heterocyclyl; R2 = H, C1-6 alkyl, (un)substituted C6-10 aryl, heterocyclyl, or C7-16 aralkyl, C1-6 alkyl-C3-6 cycloalkyl, C3-8 cycloalkyl, C7-10 bicycloalkyl, tetralyl; E = Q, Q1, Q2, Q3; R3 = H, C1-6 alkyl, halo, cyano; R4, R5 = H, C1-6 alkyl; X, U = CH, N; m, n = 1,2; A = a single bond, O-CO, O-C(:S), NHCO, NHC(:S), CO, C(S), CH(OH)CO; provided that a case where R2 = H and A = a single bond is excluded] or pharmacol. acceptable salts thereof are prepared These compds. having excellent DGAT inhibitory activity and are useful for the prevention and/or treatment of hyperlipidemia, hypertriglyceridemia, lipid metabolism abnormality diseases, insulin resistance syndromes, glucose tolerance abnormality, diabetes, diabetes complications (e.g. diabetic peripheral neuropathy, diabetic nephropathy, diabetic retinopathy, and diabetic vascular hypertrophy), cataract, gestational diabetes mellitus, polycystic ovarian syndromes, arteriosclerosis, atherosclerosis, diabetic arteriosclerosis, hypertension, cerebralvascular disorders, coronary artery disease, fatty liver, dyspnoea, lumbago (low back pain), gonarthrosis, gout, and cholelithiasis. They are also useful for preventing absorption of fat from small intestine. Thus, a solution of N-(2-methoxy-5-methylphenyl)-N'-[4-(piperazin-1-yl)phenyl]urea in THF was treated with 2-chloro-6-methylphenyl isocyanate and stirred at room temperature for 15 h to give 4-[4-[N'-(2-methoxy-5-methylphenyl)ureido]phenyl]piperazine-1-carboxylic acid N-(2-chloro-6-methylphenyl)amide (II). II at 0.1 μg/L inhibited ≥50% mouse DGAT1 and in vivo inhibited the absorption of neutral fat in mice at 10 and 30 mg/kg p.o. A capsule and a tablet formulation containing specific compds. I were described.

SO PCT Int. Appl., 524 pp.
CODEN: PIXXD2

PY 2006
2006
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EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
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S8	48	jones-brian-c.in.	US-PGPUB; USPAT; EPO; JPO; DERWENT	AND	ON	2007/07/10 09:25